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DOCUMENT-IDENTIFIER: US 5506257 A

TITLE: Aminocyclohexylamides for antiarrhythmic and anaesthetic uses

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ABPL:

The present invention provides methods for blocking sodium channels in cardiac or neuronal tissue using aminocyclohexylamides. This invention also provides kits including aminocyclohexylamides and instructions for the use of the compounds for the treatment of arrhythmia or for the inducement of local anaesthesia.

BSPR:

The present invention relates generally to the use of aminocyclohexamide compounds to block cardiac and neuronal sodium channels. This invention is more particularly related to the treatment of cardiac arrhythmias and the inducement of local anaesthesia through the use of aminocyclohexylamide compounds that block cardiac and neuronal sodium channels, respectively.

BSPR:

In another aspect, the present invention provides a **kit** comprising a pharmaceutically acceptable carrier or diluent, instructions for the treatment of arrhythmia or for the inducement of **local anaesthesia**, and at least one compound of formula I as described above or a pharmaceutically acceptable salt thereof.

BSPR:

When the present invention is employed to induce **local anaesthesia**, the means of administration may be the same as described above in the case of treatment of arrhythmia, except that use of oral administration in the form of tablets or capsules will generally not be appropriate. Topical application of the local anaesthetic agent, for example in the form of an ointment or an aerosol spray, may be employed. Means of administering local anaesthetics are well known in the art.

BSPR:

The present invention also includes a commercial **kit** containing a pharmaceutical composition which includes one or more compounds of formula I or, pharmaceutically acceptable salts thereof, in addition to any desired, pharmaceutically acceptable, carriers or diluents. The commercial

kit also includes instructions for the use of the pharmaceutical composition for the treatment of arrhythmia or for the inducement of local anaesthesia. Preferably the commercial package will contain one or more unit doses of the pharmaceutical composition. For example, such a unit dose may be an amount sufficient for the preparation of an intravenous injection. It will be evident to those in the art that compounds which are light and/or air sensitive may require special packaging and/or formulation. For example, packaging may be used which is opaque to light, and/or sealed from contact with ambient air, and/or formulated with suitable coatings or excipients.

#### DEPR:

The guinea pig intradermal wheal assay for local anaesthesia was carried out.

The test consisted of injecting intradermally a small volume of approximately

0.1 ml of the test compound at various concentrations in a saline vehicle into

the back of guinea pig, after which local anaesthesia was determined by the

absence of a flinch upon pin prick near the site of injection. In this test,

ED.sub.20 values for compound 1; compound 7; and U-50,488H were 0.3, 0.5, and

>0.5 percent (g/100 ml), respectively.